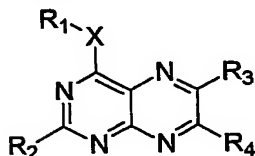


CLAIMS

1. A pteridine derivative having the general formula:



wherein X represents an oxygen atom or a group with the formula S(O)<sub>m</sub> wherein m is an integer from 0 to 2, or a group with the formula NZ and wherein:

- 5
  - R<sub>1</sub> is a group selected from the group consisting of C<sub>1-7</sub> alkyl, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl, C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub> cycloalkenyl, aryl, alkylaryl, arylalkyl, heterocyclic, heterocyclic-substituted alkyl and alkyl-substituted heterocyclic, each of said groups being optionally substituted with one or more substituents independently selected from the group
  - 10 consisting of halogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl, halo C<sub>1-4</sub> alkyl, C<sub>3-10</sub> cycloalkoxy, aryloxy, arylalkyloxy, oxyheterocyclic, heterocyclic-substituted alkyloxy, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl, hydroxyl, sulfhydryl, nitro, hydroxylamino, mercaptoamino, cyano, carboxylic acid or esters or thioesters or amides or thioamides or halides or
  - 15 anhydrides thereof, thiocarboxylic acid or esters or thioesters or amides or thioamides or halides or anhydrides thereof, carbamoyl, thiocarbamoyl, ureido, thio-ureido, amino, alkylamino, cycloalkylamino, alkenylamino, cycloalkenyl-amino, alkynylamino, arylamino, arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino and phenyl-hydrazino; or R<sub>1</sub> is a carboxyalkyl, carboxyaryl, thiocarboxyaryl or thiocarboxyalkyl group;
  - 20
  - Z is a group independently defined as R<sub>1</sub> or Z is hydrogen or the group NZ together with R<sub>1</sub> is either hydroxylamino or an optionally substituted heterocyclic group containing at least one nitrogen atom;
  - R<sub>2</sub> is selected from the group consisting of amino; acylamino; thioacylamino; carbamoyl; thiocarbamoyl, ureido; thio-ureido, sulfonamido; hydroxylamino; alkoxyamino; thioalkylamino; mercaptoamino, hydrazino; alkylhydrazino; phenylhydrazino; optionally
  - 25 substituted heterocyclic radicals; C<sub>3-7</sub> alkylamino; arylamino; arylalkylamino; cycloalkylamino; alkenylamino; cycloalkenylamino; heterocyclic amino; hydroxyalkylamino; mercaptoalkylamino; C<sub>1-7</sub> alkoxy; C<sub>3-10</sub> cycloalkoxy; thio C<sub>1-7</sub> alkyl; arylsulfoxide; arylsulfone; heterocyclic sulfoxide; heterocyclic sulfone; thio C<sub>3-10</sub> cycloalkyl; arylthio; arylalkyloxy; arylalkylthio; oxyheterocyclic and thioheterocyclic radicals;
  - 30
  - R<sub>4</sub> is an atom or a group selected from the group consisting of hydrogen; halogen; C<sub>1-7</sub> alkyl; C<sub>2-7</sub> alkenyl; C<sub>2-7</sub> alkynyl; halo C<sub>1-7</sub> alkyl; carboxy C<sub>1-7</sub> alkyl; carboxyaryl; C<sub>1-7</sub> alkoxy; C<sub>3-10</sub> cycloalkoxy; aryloxy; arylalkyloxy; oxyheterocyclic; heterocyclic-substituted alkyloxy;

thio C<sub>1-7</sub> alkyl; thio C<sub>3-10</sub> cycloalkyl; thioaryl; thioheterocyclic; arylalkylthio; heterocyclic-substituted alkylthio; hydroxylamino; mercapto-amino; acylamino; thio-acylamino; alkoxyamino; thioalkylamino; acetal; thio-acetal; carboxylic acid; carboxylic acid esters, thioesters, halides, anhydrides, amides and thioamides; thiocarboxylic acid; thiocarboxylic acid esters, thioesters, halides, anhydrides, amides and thioamides; hydroxyl; sulfhydryl; nitro; cyano; carbamoyl; thiocarbamoyl, ureido; thio-ureido; alkylamino; cycloalkyl-amino; alkenylamino; cycloalkenylamino; alkynylamino; arylamino; arylalkylamino; hydroxyalkylamino; mercaptoalkylamino; heterocyclic amino; heterocyclic-substituted alkylamino; oximino; alkylloximino; hydrazino; alkylhydrazino; phenylhydrazino; cysteinyl acid, esters, thioesters, halides, anhydrides, amides and thioamides thereof; phenyl substituted with one or more substituents independently selected from the group consisting of C<sub>1-7</sub> alkyl, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl, halo C<sub>1-7</sub> alkyl, nitro, hydroxyl, sulfhydryl, amino, C<sub>3-10</sub> cycloalkoxy, aryloxy, arylalkyloxy, oxyheterocyclic, heterocyclic-substituted alkyloxy, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl, carbamoyl, thiocarbamoyl, ureido, thio-ureido, sulfonamido, hydroxylamino, alkoxyamino, mercaptoamino, thioalkyl-amino, acylamino, thioacylamino, cyano, carboxylic acid or esters or thioesters or halides or anhydrides or amides thereof, thiocarboxylic acid or esters or thioesters or halides or anhydrides or amides thereof, alkylamino, cycloalkylamino, alkenylamino, cycloalkenylamino, alkynyl-amino, arylamino, arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino and phenylhydrazino; aryl groups other than phenyl, the said aryl groups being optionally substituted with one or more substituents independently selected from the group consisting of halogen, C<sub>1-7</sub> alkyl, C<sub>1-7</sub> alkoxy, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl, halo C<sub>1-7</sub> alkyl, nitro, hydroxyl, sulfhydryl, amino, C<sub>3-10</sub> cycloalkoxy, aryloxy, arylalkyloxy, oxyheterocyclic, heterocyclic-substituted alkyloxy, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl, carbamoyl, thiocarbamoyl, ureido, thio-ureido, sulfonamido, hydroxylamino, alkoxyamino, mercaptoamino, thioalkyl-amino, acylamino, thioacylamino, cyano, carboxylic acid or esters or thioesters or halides or anhydrides or amides thereof, thiocarboxylic acid or esters or thioesters or halides or anhydrides or amides thereof, alkylamino, cycloalkylamino, alkenylamino, cycloalkenylamino, alkynyl-amino, arylamino, arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino and phenylhydrazino; optionally substituted heterocyclic radicals selected from the group consisting of oxabicycloheptyl, azabenzimidazolyl, azacycloheptyl, azacyclooctyl, azacyclononyl, azabicyclononyl, tetrahydrofuryl, tetrahydro-pyranyl, tetrahydropyranyl, tetrahydroquinoleinyl, tetrahydro-thienyl and dioxide thereof, dihydrothienyl dioxide, dioxindolyl, dioxinyl, dioxenyl, dioxazinyl, thioxanyl, thioxolyl, thio-

urazolyl, thiotriazolyl, thiopyranyl, thiopyronyl, coumarinyl, quinoleinyl, oxyquinoleinyl,  
 quinuclidinyl, xanthi-nyl, dihydropyranyl, benzodihydrofuryl, benzothiopyronyl, benzothio-  
 pyranyl, benzoxazinyl, benzoxazolyl, benzodioxolyl, benzodioxanyl, benzothiadiazolyl,  
 benzotriazinyl, benzothiazolyl, benzoxazolyl, phenothioxinyl, phenothiazolyl,  
 5 phenothieryl, phenopyronyl, phenoxazolyl, pyridinyl, dihydropyridinyl, tetrahydropyridinyl,  
 piperidinyl, thiomorpholinyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, tetrazinyl, triazolyl,  
 benzotriazolyl, tetrazolyl, imidazolyl, pyrazolyl, thiazolyl, thiadiazolyl, isothiazolyl, oxazolyl,  
 oxadiazolyl, pyrrolyl, furyl, dihydrofuryl, furoyl, hydantoinyl, dioxolanyl, dioxolyl, dithianyl,  
 10 dithienyl, dithieryl, thienyl, indolyl, indazolyl, benzofuryl, quinolyl, quinazolinyl,  
 quinoxalinyl, carbazolyl, phenoxazinyl, phenothiazinyl, xanthenyl, purinyl, benzothieryl,  
 naphthothieryl, thianthrenyl, pyranyl, pyronyl, benzopyronyl, isobenzofuranyl, chromenyl,  
 phenoxathieryl, indolizyl, quinolizyl, isoquinolyl, phthalazinyl, naphthiridinyl, cinnolyl,  
 pteridinyl, carbolinyl, acridinyl, perimidinyl, phenanthrolinyl, phenazinyl, phenothiazinyl,  
 15 imidazolyl, imidazolidinyl, benzimidazolyl, pyrazolyl, pyrazolidinyl, pyrrolinyl,  
 pyrrolidinyl, piperazinyl, uridinyl, thymidinyl, cytidinyl, azirinyl, aziridinyl, diazirinyl,  
 diaziridinyl, oxiranyl, oxaziridinyl, dioxiranyl, thiranyl, azetyl, dihydroazetyl, azetidyl,  
 oxetyl, oxetanyl, thietyl, thietanyl, diazabicyclo-octyl, diazetyl, diaziridinonyl,  
 diaziridinethionyl, chromanyl, chromanonyl, thiochromanyl, thiochromanonyl,  
 20 thiochromenyl, benzofuranyl, benziso-thiazolyl, benzocarbazolyl, benzochromonyl,  
 benzisoalloxazinyl, benzocoumarinyl, thiocoumarinyl, phenometoxazinyl,  
 phenoparoxazinyl, phentriazinyl, thiodiazinyl, thiodiazolyl, indoxyl, thioindoxyl,  
 benzodiazinyl, phtalidyl, phtalimidinyl, phtalazonyl, alloxazinyl, xanthionyl, isatyl,  
 isopyrazolyl, isopyrazolonyl, urazolyl, urazinyl, uretinyl, uretidinyl, succinyl, succinimido,  
 25 benzylsultinyl and benzylsultamyl; aromatic or heterocyclic substituents substituted with  
 an aliphatic spacer between the pteridine ring and the aromatic or heterocyclic  
 substituent, whereby said aliphatic spacer is a branched or straight, saturated or  
 unsaturated aliphatic chain of 1 to 4 carbon atoms which may contain one or more  
 functions, atoms or radicals independently selected from the group consisting of carbonyl  
 (oxo), thiocarbonyl, alcohol (hydroxyl), thiol, ether, thio-ether, acetal, thio-acetal, amino,  
 30 imino, oximino, alkyloximino, amino-acid, cyano, acylamino, thioacylamino, carbamoyl,  
 thiocarbamoyl, ureido, thio-ureido, carboxylic acid or ester or thioester or halide or  
 anhydride or amide, thiocarboxylic acid or ester or thioester or halide or anhydride or  
 amide, nitro, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, hydroxylamino, mercaptoamino,  
 alkylamino, cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, arylamino,  
 35 arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino,  
 alkylhydrazino, phenylhydrazino, sulfonyl, sulfinyl, sulfonamido and halogen; branched or  
 straight, saturated or unsaturated aliphatic chains of 1 to 7 carbon atoms optionally

- containing one or more functions, atoms or radicals independently selected from the group consisting of carbonyl (oxo), thiocarbonyl, alcohol (hydroxyl), thiol, ether, thio-ether, acetal, thio-acetal, amino, imino, oximino, alkyloximino, aminoacid, cyano, acylamino; thioacylamino; carbamoyl, thiocarbamoyl, ureido, thio-ureido, carboxylic acid ester or halide or anhydride or amide, thiocarboxylic acid or ester or thioester or halide or anhydride or amide, nitro, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, hydroxylamino, mercaptoamino, alkylamino, cycloalkylamino, alkenyl-amino, cycloalkenylamino, alkynylamino, arylamino, arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino, phenylhydrazino, sulfonyl, sulfinyl, sulfonamido and halogen; and
- 10 - R<sub>3</sub> is an atom or a group selected from the group consisting of fluoro, bromo, iodo, C<sub>2-7</sub> alkyl; C<sub>2-7</sub> alkenyl; C<sub>2-7</sub> alkynyl; halo C<sub>1-7</sub> alkyl; C<sub>1-7</sub> alkoxy; C<sub>3-10</sub> cycloalkoxy; aryloxy; arylalkyloxy; oxyheterocyclic; heterocyclic-substituted alkyloxy; thio C<sub>2-7</sub> alkyl; thio C<sub>3-10</sub> cycloalkyl; thioaryl; thioheterocyclic; arylalkylthio; heterocyclic-substituted alkylthio; hydroxylamino; alkoxyamino; thioalkylamino; mercaptoamino; acylamino; thio-acylamino; thio-acetal; carboxylic acid; carboxylic acid esters, thioesters, amides, halides, anhydrides and thioamides; thiocarboxylic acid; thiocarboxylic acid esters, thioesters, amides, halides, anhydrides and thioamides; hydroxyl; sulfhydryl; nitro; carbamoyl; thiocarbamoyl; ureido; thio-ureido; amino; alkylamino; cycloalkylamino; alkenylamino; cycloalkenylamino; alkynylamino; arylamino; arylalkylamino; hydroxyalkyl-amino; mercaptoalkylamino; heterocyclic amino; heterocyclic-substituted alkylamino; oximino; alkyloximino; hydrazino; alkylhydrazino; phenyl-hydrazino; cysteinyl acid, esters, thioesters, amides and thioamides thereof; aryl optionally substituted with one or more substituents independently selected from the group consisting of halogen, C<sub>1-7</sub> alkyl, C<sub>1-7</sub> alkoxy, ω-cyano C<sub>1-7</sub> alkoxy, C<sub>1-7</sub> alkoxy-C<sub>1-7</sub> alkoxy, carboxylic acid ester C<sub>1-7</sub> alkoxy, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl, halo C<sub>1-7</sub> alkyl, nitro, hydroxyl, sulfhydryl, amino, C<sub>3-10</sub> cycloalkoxy, aryloxy, arylalkyloxy, oxyheterocyclic, heterocyclic-substituted alkyloxy, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl, carbamoyl, thiocarbamoyl, ureido, thio-ureido, sulfonamido, hydroxylamino, mercapto-amino, alkoxyamino, thioalkylamino, acylamino, thio-acylamino, cyano, carboxylic acid or esters or thioesters or halides or anhydrides or amides thereof, thiocarboxylic acid or esters or thioesters or halides or anhydrides or amides thereof, alkylamino, cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, arylamino, arylalkylamino, hydroxy-alkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino and phenylhydrazino; optionally substituted heterocyclic radicals; aromatic or heterocyclic substituents substituted with an aliphatic spacer between the pteridine ring and the aromatic or heterocyclic substituent, whereby said aliphatic spacer is a branched
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- 35

or straight, saturated or unsaturated aliphatic chain of 1 to 4 carbon atoms which may contain one or more functions, atoms or radicals independently selected from the group consisting of carbonyl (oxo), thiocarbonyl, alcohol (hydroxyl), thiol, ether, thio-ether, acetal, thio-acetal, amino, imino, oximino, alkyloximino, amino-acid, cyano, carboxylic acid or ester or thioester or amide, nitro, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, alkylamino, cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, arylamino, arylalkylamino, hydroxy-alkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkyl-hydrazino, phenylhydrazino, sulfonyl, sulfonamido and halogen; branched or straight, saturated or unsaturated aliphatic chains of 2 to 7 carbon atoms optionally containing one or more functions, atoms or radicals independently selected from the group consisting of thiocarbonyl, alcohol (hydroxyl), thiol, ether, thio-ether, thio-acetal, amino, imino, oximino, alkyloximino, amino-acid, cyano, acylamino, thioacylamino, carbamoyl, thiocarbamoyl, ureido, thio-ureido, carboxylic acid or ester or thioester or halide or anhydride or amide, thio carboxylic acid or ester or thioester or halide or anhydride or amide, nitro, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, hydroxylamino, mercaptoamino, alkylamino, cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, aryl-amino, arylalkylamino, hydroxy-alkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino, phenylhydrazino, sulfonyl, sulfinyl, sulfonamido and halogen; or R<sub>3</sub> together with R<sub>4</sub> and the carbon atoms to which they are attached form a homocyclic or heterocyclic radical;

and/or a pharmaceutically acceptable addition salt thereof and/or a stereoisomer thereof and/or a mono- or a di-*N*-oxide thereof and/or a solvate thereof and/or a dihydro- or tetrahydropteridine derivative thereof.

25 2. A pteridine derivative according to claim 1, wherein R<sub>1</sub> is selected from the group consisting of methyl, ethyl, isopropyl and pentyl.

30 3. A pteridine derivative according to claim 1 or claim 2, wherein R<sub>3</sub> is 3-thienyl, 2-thienyl or a phenyl group with one or more substituents.

4. A pteridine derivative according to claim 1 or claim 2, wherein R<sub>3</sub> is a phenyl group with one or more substituents each independently selected from the group consisting of fluoro, methoxy, ethoxy, trifluoromethyl, dimethylamino, chloro, cyano, methyl, ethyl, carboxymethyl, methylthio, dimethylcarboxamido, diethylcarboxamido and methylcarboxylate.

35 5. A pteridine derivative according to claim 1 or claim 2, wherein:

- X is NZ,

- Z is selected from the group consisting of hydrogen, methyl, ethyl, n-propyl and benzyl, and
- R<sub>1</sub> is selected from the group consisting of methyl, ethyl, n-propyl and benzyl.

5 6. A pteridine derivative according to claim 1, wherein X is NZ and wherein the group NZ together with R<sub>1</sub> is selected from the group consisting of hydroxylamino, morpholinyl, piperidinyl, piperazinyl, 1,2,4-triazolyl and N-methylpiperazinyl.

7. A pteridine derivative according to claim 1, being selected from the group consisting of:

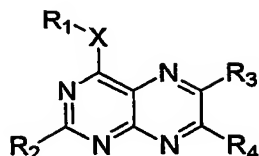
- 10 - 2-amino-4-ethoxy-6-(4-methoxyphenyl)-pteridine
- 2-amino-4-ethoxy-6-(2-methoxyphenyl)-pteridine
- 2-amino-4-ethoxy-6-(3-methoxyphenyl)-pteridine
- 2-amino-4-ethoxy-6-(3,4-difluorophenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-dimethylaminophenyl)-pteridine
- 15 - 2-amino-4-ethoxy-6-(4-trifluoromethylphenyl)-pteridine
- 2-amino-4-ethoxy-6-(2-thienyl)-pteridine
- 2-amino-4-ethoxy-6-(3-thienyl)-pteridine
- 2-amino-4-ethoxy-6-(3,4-dichlorophenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-cyanophenyl)-pteridine
- 20 - 2-amino-4-ethoxy-6-(4-ethoxyphenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-fluorophenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-ethylphenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-acetylphenyl)-pteridine
- 2-amino-4-ethoxy-6-(3-fluoro-4-methylphenyl)-pteridine
- 25 - 2-amino-4-ethoxy-6-(4-thiomethylphenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-N,N-dimethylbenzamido)-pteridine
- 2-amino-4-isopropoxy-6-(3-methyl-4-methoxyphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3,4-dimethylphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3-chloro-4-trifluoromethylphenyl)-pteridine
- 30 - 2-amino-4-isopropoxy-6-(3-chloro-4-fluorophenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-N,N-diethylbenzamido)-pteridine
- 2-amino-4-isopropoxy-6-(4-trifluoromethylphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3,4-difluorophenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-methoxyphenyl)-pteridine
- 35 - 2-amino-4-isopropoxy-6-(4-ethoxyphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-N,N-dimethylbenzamido)-pteridine
- 2-amino-4-isopropoxy-6-(3-thienyl)-pteridine

- 2-amino-4-isopropoxy-6-(4-cyanophenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-benzoic acid methyl ester)-pteridine
- 2-amino-4-isopropoxy-6-(4-acetylphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3,4-dimethoxyphenyl)-pteridine
- 5 - 2-amino-4-ethylthio-6-(3,4-dimethoxyphenyl)-pteridine,
- 2-amino-4-isopropylthio-6-(3,4-dimethoxyphenyl)-pteridine,
- 2-amino-4-pentoxy-6-styrylpteridine,
- 2-amino-4-n-pentoxy-6-(1,2-dibromo-2-phenylethyl)-pteridine,
- 2-amino-4-methoxy-6-styryl-7-methoxypteridine,
- 10 - 2,4-diamino-6-phenyl-7-methylpteridine,
- 2-amino-4-dimethylamino-6-phenylpteridine,
- 2-amino-4-dimethylamino-6-(4-tolyl)pteridine,
- 2-amino-4-dimethylamino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-diethylamino-6-phenylpteridine,
- 15 - 2-amino-4-diethylamino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-diethylamino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-diethylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dibenzylamino-6-phenyl pteridine,
- 2-amino-4-dibenzylamino-6-(4-chlorophenyl)pteridine,
- 20 - 2-amino-4-dibenzylamino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-dibenzylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dipropylamino-6-phenylpteridine,
- 2-amino-4-dipropylamino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-dipropylamino-6-(4-methoxyphenyl)pteridine,
- 25 - 2-amino-4-dipropylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-morpholino-6-phenylpteridine,
- 2-amino-4-morpholino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-morpholino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-morpholino-6-(3,4-dimethoxyphenyl)pteridine,
- 30 - 2-amino-4-piperidino-6-phenylpteridine,
- 2-amino-4-piperidino-6-(4-chlorophenyl) pteridine,
- 2-amino-4-piperidino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-piperidino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-N-methylpiperazino-6-phenylpteridine,
- 35 - 2-amino-4-N-methylpiperazino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-N-methylpiperazino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-methylpiperazino-6-(3,4-dimethoxyphenyl)pteridine,

- 2-amino-4-pyrrolidino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-piperazino-6-phenylpteridine,
- 2-amino-4-piperazino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-piperazino-6-(4-methoxyphenyl)pteridine,
- 5 - 2-amino-4-piperazino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dibenzylamino-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-morpholino-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-(3-adamantylamino)-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-(3-adamantylamino)-6-naphtylpteridine,
- 10 - 2-amino-4-(4-adamantylamino)-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-(4-adamantylamino)-6-naphtylpteridine,
- 2-amino-4-morpholino-6-(3,4-formylidene-3,4-dihydroxyphenyl)pteridine,
- 2-amino-4-dimethylamino-6-(3,4-formylidene-3,4-dihydroxyphenyl) pteridine,
- 2-amino-4-pyrrolidino-6-(3,4-dimethoxyphenyl)pteridine,
- 15 - 2-amino-4-dimethylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dimethylamino-6-methylpteridine,
- 2-amino-4-ethoxy-6-phenylpteridine,
- 2-amino-4-propylamino-6-phenylpteridine,
- 2-amino-4-propylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 20 - 2-acetamido-4-hydroxy-6-(3,4-dimethoxyphenyl)pteridine,
- 2-acetamido-4-isopropoxy-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-ethoxy-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-diethanolamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-benzylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 25 - 2-amino-4-phenylethylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-(4-methylpiperidino)-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-(2-thienylmethylamino)-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-(1,2,3,6-tetrahydropyridino)-6-(3,4-dimethoxyphenyl) pteridine,
- 2-amino-4-thiomorpholino-6-(3,4-dimethoxyphenyl)pteridine,
- 30 - 2-amino-4-[(*R*)-sec-butylamino]-6-(3,4-dimethoxyphenyl)pteridine, and
- 2-amino-4-[(*S*)-sec-butylamino]-6-(3,4-dimethoxyphenyl)pteridine.

8. A pharmaceutical composition comprising as an active principle at least one pteridine derivative having the general formula:





wherein X represents an oxygen atom or a group with the formula  $S(O)_m$  wherein m is an integer from 0 to 2, or a group with the formula NZ and wherein:

- 5       -  $R_1$  is a group selected from the group consisting of  $C_{1-7}$  alkyl,  $C_{2-7}$  alkenyl,  $C_{2-7}$  alkynyl,  $C_{3-10}$  cycloalkyl,  $C_{3-10}$  cycloalkenyl, aryl, alkylaryl, arylalkyl, heterocyclic, heterocyclic-substituted alkyl and alkyl-substituted heterocyclic, each of said groups being optionally substituted with one or more substituents independently selected from the group consisting of halogen,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy,  $C_{2-7}$  alkenyl,  $C_{2-7}$  alkynyl, halo  $C_{1-4}$  alkyl,  $C_{3-10}$  cycloalkoxy, aryloxy, arylalkyloxy, oxyheterocyclic, heterocyclic-substituted alkyloxy, thio
   
10        $C_{1-7}$  alkyl, thio  $C_{3-10}$  cycloalkyl, thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl, hydroxyl, sulfhydryl, nitro, hydroxylamino, mercaptoamino, cyano, carboxylic acid or esters or thioesters or amides or thioamides or halides or anhydrides thereof, thiocarboxylic acid or esters or thioesters or amides or thioamides or halides or anhydrides thereof, carbamoyl, thiocarbamoyl, ureido, thio-ureido, amino,
   
15       alkylamino, cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, arylamino, arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino and phenylhydrazino; or  $R_1$  is a carboxyalkyl, carboxyaryl, thiocarboxyaryl or thiocarboxyalkyl group;
   
20       - Z is a group independently defined as  $R_1$  or Z is hydrogen or the group NZ together with  $R_1$  is either hydroxylamino or an optionally substituted heterocyclic group containing at least one nitrogen atom;
   
25       -  $R_2$  is selected from the group consisting of amino; acylamino; thioacylamino; carbamoyl; thiocarbamoyl, ureido; thio-ureido, sulfonamido; hydroxylamino; alkoxyamino; thioalkylamino; mercaptoamino, hydrazino; alkylhydrazino; phenylhydrazino; optionally substituted heterocyclic radicals;  $C_{3-7}$  alkylamino; arylamino; arylalkylamino; cycloalkylamino; alkenylamino; cycloalkenylamino; heterocyclic amino; hydroxyalkylamino; mercaptoalkylamino;  $C_{1-7}$  alkoxy;  $C_{3-10}$  cycloalkoxy; thio  $C_{1-7}$  alkyl; arylsulfoxide; arylsulfone; heterocyclic sulfoxide; heterocyclic sulfone; thio  $C_{3-10}$  cycloalkyl; aryloxy; arylthio; arylalkyloxy; arylalkylthio; oxyheterocyclic and thioheterocyclic radicals,
   
30       -  $R_4$  is an atom or a group selected from the group consisting of hydrogen; halogen;  $C_{1-7}$  alkyl;  $C_{2-7}$  alkenyl;  $C_{2-7}$  alkynyl; halo  $C_{1-7}$  alkyl; carboxy  $C_{1-7}$  alkyl; acetoxyl  $C_{1-7}$  alkyl; carboxyaryl;  $C_{1-7}$  alkoxy;  $C_{3-10}$  cycloalkoxy; aryloxy; arylalkyloxy; oxyheterocyclic; heterocyclic-substituted alkyloxy; thio  $C_{1-7}$  alkyl; thio  $C_{3-10}$  cycloalkyl; thioaryl; thioheterocyclic; arylalkylthio; heterocyclic-substituted alkylthio; hydroxylamino; mercapto-

amino; acylamino; thio-acylamino; alkoxyamino; thioalkylamino; acetal; thio-acetal;  
 carboxylic acid; carboxylic acid esters, thioesters, halides, anhydrides, amides and  
 thioamides; thiocarboxylic acid; thiocarboxylic acid esters, thioesters, halides, anhydrides,  
 amides and thioamides; hydroxyl; sulfhydryl; nitro; cyano; carbamoyl; thiocarbamoyl,  
 5 ureido; thio-ureido; alkylamino; cycloalkylamino; alkenylamino; cycloalkenylamino;  
 alkynylamino; arylamino; arylalkylamino; hydroxyalkylamino; mercapto-alkylamino;  
 heterocyclic amino; heterocyclic-substituted alkylamino; oximino; alkyloximino; hydrazino;  
 alkylhydrazino; phenylhydrazino; cysteinyl acid, esters, thioesters, halides, anhydrides,  
 amides and thioamides thereof; phenyl substituted with one or more substituents  
 10 independently selected from the group consisting of C<sub>1-7</sub> alkyl, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl,  
 halo C<sub>1-7</sub> alkyl, nitro, hydroxyl, sulfhydryl, amino, C<sub>3-10</sub> cycloalkoxy, aryloxy, arylalkyloxy,  
 oxyheterocyclic, heterocyclic-substituted alkyloxy, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl,  
 thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl,  
 carbamoyl, thiocarbamoyl, ureido, thio-ureido, sulfonamido, hydroxylamino, alkoxyamino,  
 15 mercaptoamino, thioalkylamino, acylamino, thioacylamino, cyano, carboxylic acid or  
 esters or thioesters or halides or anhydrides or amides thereof, thiocarboxylic acid or  
 esters or thioesters or halides or anhydrides or amides thereof, alkylamino,  
 cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, arylamino,  
 arylalkylamino, hydroxy-alkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino,  
 20 alkylhydrazino and phenylhydrazino; aryl groups other than phenyl, the said aryl groups  
 being optionally substituted with one or more substituents independently selected from  
 the group consisting of halogen, C<sub>1-7</sub> alkyl, C<sub>1-7</sub> alkoxy, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl, halo C<sub>1-7</sub>  
 alkyl, nitro, hydroxyl, sulfhydryl, amino, C<sub>3-10</sub> cycloalkoxy, aryloxy, arylalkyloxy,  
 oxyheterocyclic, heterocyclic-substituted alkyloxy, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl,  
 25 thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl,  
 carbamoyl, thiocarbamoyl, ureido, thio-ureido, sulfonamido, hydroxylamino, alkoxyamino,  
 mercapto-amino, thioalkylamino, acylamino, thioacylamino, cyano, carboxylic acid or  
 esters or thioesters or halides or anhydrides or amides thereof, thio-carboxylic acid or  
 esters or thioesters or halides or anhydrides or amides thereof, alkylamino,  
 30 cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, arylamino,  
 arylalkylamino, hydroxyalkylamino, mercapto-alkylamino, heterocyclic amino, hydrazino,  
 alkylhydrazino and phenyl-hydrazino; optionally substituted heterocyclic radicals selected  
 from the group consisting of oxabicycloheptyl, azabenzimidazolyl, azacycloheptyl,  
 azacyclooctyl, azacyclononyl, azabicyclononyl, tetrahydrofuryl, tetrahydro-pyranyl,  
 35 tetrahydropyryl, tetrahydroquinoleinyl, tetrahydro-thienyl and dioxide thereof,  
 dihydrothienyl dioxide, dioxindolyl, dioxinyl, dioxenyl, dioxazinyl, thioxanyl, thioxolyl,  
 thiourazolyl, thiotriazolyl, thiopyranyl, thiopyryl, coumarinyl, quinoleinyl, oxyquinoleinyl,

quinuclidinyl, xanthinyl, dihydropyranyl, benzodihydrofuryl, benzothiopyranyl, benzothio-  
 pyranyl, benzoxazinyl, benzoxazolyl, benzodioxolyl, benzodioxanyl, benzothiadiazolyl,  
 benzotriazinyl, benzothiazolyl, benzoxazolyl, pheno-thioxinyl, phenothiazolyl,  
 phenothieryl, phenopyranyl, phenoxazolyl, pyridinyl, dihydropyridinyl, tetrahydropyridinyl,  
 5 piperidinyl, thiomorpholinyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, tetrazinyl, triazolyl,  
 benzotriazolyl, tetrazolyl, imidazolyl, pyrazolyl, thiazolyl, thiadiazolyl, isothiazolyl, oxazolyl,  
 oxadiazolyl, pyrrolyl, furyl, dihydrofuryl, furoyl, hydantoinyl, dioxolanyl, dioxolyl, dithianyl,  
 dithienyl, dithieryl, thienyl, indolyl, indazolyl, benzofuryl, quinolyl, quinazolinyl,  
 quinoxalinyl, carbazolyl, phenoxazinyl, phenothiazinyl, xanthenyl, purinyl, benzothieryl,  
 10 naphthothieryl, thianthrenyl, pyranyl, pyronyl, benzopyranyl, isobenzofuranyl, chromenyl,  
 phenoxathieryl, indoliziny, quinoliziny, isoquinolyl, phthalazinyl, naphthiridinyl, cinnoliny,  
 pteridinyl, carboliny, acridinyl, perimidinyl, phenanthrolinyl, phenazinyl, phenothiazinyl,  
 imidazoliny, imidazolidinyl, benzimidazolyl, pyrazoliny, pyrazolidinyl, pyrroliny,  
 pyrrolidinyl, piperazinyl, uridinyl, thymidinyl, cytidinyl, aziriny, aziridinyl, diaziriny,  
 15 diaziridinyl, oxiranyl, oxaziridinyl, dioxiranyl, thiranyl, azetyl, dihydroazetyl, azetidiny,  
 oxetyl, oxetanyl, thietyl, thietanyl, diazabicyclo-octyl, diazetyl, diaziridinonyl,  
 diaziridinethionyl, chromanyl, chromanonyl, thiochromanyl, thiochromanonyl,  
 thiochromenyl, benzofuranyl, benzisothiazolyl, benzocarbazolyl, benzochromonyl,  
 benzisooalloxazinyl, benzocoumarinyl, thiocoumarinyl, phenometoxazinyl,  
 20 phenoparoxazinyl, phentriazinyl, thiodiazinyl, thiodiazolyl, indoxyl, thio-indoxyl,  
 benzodiazinyl, phtalidyl, phtalimidinyl, phtalazonyl, alloxazinyl, xanthionyl, isatyl,  
 isopyrazolyl, isopyrazolonyl, urazolyl, urazinyl, uretinyl, uretidinyl, succinyl, succinimido,  
 benzylsultimyl and benzylsultamyl; aromatic or heterocyclic substituents substituted with  
 an aliphatic spacer between the pteridine ring and the aromatic or heterocyclic  
 25 substituent, whereby said aliphatic spacer is a branched or straight, saturated or  
 unsaturated aliphatic chain of 1 to 4 carbon atoms which may contain one or more  
 functions, atoms or radicals independently selected from the group consisting of carbonyl  
 (oxo), thiocarbonyl, alcohol (hydroxyl), thiol, ether, thio-ether, acetal, thio-acetal, amino,  
 imino, oximino, alkyloximino, amino-acid, cyano, acylamino, thioacylamino, carbamoyl,  
 30 thiocarbamoyl, ureido, thio-ureido, carboxylic acid or ester or thioester or halide or anhydride or  
 amide, nitro, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, hydroxylamino, mercaptoamino,  
 alkylamino, cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, arylamino,  
 arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino,  
 35 alkylhydrazino, phenylhydrazino, sulfonyl, sulfinyl, sulfonamido and halogen; branched or  
 straight, saturated or unsaturated aliphatic chains of 2 to 7 carbon atoms optionally  
 containing one or more functions, atoms or radicals independently selected from the

- group consisting of carbonyl (oxo), thiocarbonyl, alcohol (hydroxyl), thiol, ether, thio-ether, acetal, thio-acetal, amino, imino, oximino, alkyloximino, aminoacid, cyano, acylamino; thioacylamino; carbamoyl, thiocarbamoyl, ureido, thio-ureido, carboxylic acid ester or halide or anhydride or amide, thiocarboxylic acid or ester or thioester or halide or anhydride or amide, nitro, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, hydroxylamino, mercaptoamino, alkylamino, cycloalkylamino, alkenyl-amino, cycloalkenylamino, alkynylamino, arylamino, arylalkylamino, hydroxy-alkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino, phenylhydrazino, sulfonyl, sulfinyl, sulfonamido and halogen; and
- 10 - R<sub>3</sub> is an atom or a group defined as R<sub>4</sub>, or R<sub>3</sub> is selected from the group consisting of morpholinyl, amino, hydrogen, methyl, thiomethyl and chloro; or R<sub>3</sub> together with R<sub>4</sub> and the carbon atoms to which they are attached form a homocyclic or heterocyclic radical; and/or a pharmaceutically acceptable addition salt thereof and/or a stereoisomer thereof and/or a mono- or a di-N-oxide thereof and/or a solvate and/or a dihydro- or tetrahydropteridine derivative thereof.
- 15
9. A pharmaceutical composition according to claim 8, wherein R<sub>1</sub> is selected from the group consisting of methyl, ethyl, isopropyl and pentyl.
- 20 10. A pharmaceutical composition according to claim 8 or claim 9, wherein R<sub>3</sub> is 3-thienyl, 2-thienyl or a phenyl group with one or more substituents.
11. A pharmaceutical composition according to claim 8 or claim 9, wherein R<sub>3</sub> is a phenyl group with one or more substituents each independently selected from the group consisting of fluoro, methoxy, ethoxy, trifluoromethyl, dimethylamino, chloro, cyano, methyl, ethyl, carboxymethyl, methylthio, dimethylcarboxamido, diethylcarboxamido and methylcarboxylate.
- 25
12. A pharmaceutical composition according to claim 8 or claim 9, wherein:
- X is NZ,
  - 30 - Z is selected from the group consisting of hydrogen, methyl, ethyl, n-propyl and benzyl, and
  - R<sub>1</sub> is selected from the group consisting of methyl, ethyl, n-propyl and benzyl.
13. A pharmaceutical composition according to claim 8, wherein X is NZ and wherein the group NZ together with R<sub>1</sub> is selected from the group consisting of hydroxylamino, morpholinyl, piperidiny, piperazinyl, 1,2,4-triazolyl and N-methylpiperazinyl.
- 35

14. A pharmaceutical composition according to claim 8, wherein said pteridine derivative is a compound selected from the group consisting of:

- 2-amino-4-ethoxy-6-(4-methoxyphenyl)-pteridine
- 2-amino-4-ethoxy-6-(2-methoxyphenyl)-pteridine
- 5 - 2-amino-4-ethoxy-6-(3-methoxyphenyl)-pteridine
- 2-amino-4-ethoxy-6-(3,4-difluorophenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-dimethylaminophenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-trifluoromethylphenyl)-pteridine
- 2-amino-4-ethoxy-6-(2-thienyl)-pteridine
- 10 - 2-amino-4-ethoxy-6-(3-thienyl)-pteridine
- 2-amino-4-ethoxy-6-(3,4-dichlorophenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-cyanophenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-ethoxyphenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-fluorophenyl)-pteridine
- 15 - 2-amino-4-ethoxy-6-(4-ethylphenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-acetylphenyl)-pteridine
- 2-amino-4-ethoxy-6-(3-fluoro-4-methylphenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-methylthiophenyl)-pteridine
- 2-amino-4-ethoxy-6-(4-N,N-dimethylbenzamido)-pteridine
- 20 - 2-amino-4-isopropoxy-6-(3-methyl-4-methoxyphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3,4-dimethylphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3-chloro-4-trifluoromethylphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3-chloro-4-fluorophenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-N,N-diethylbenzamido)-pteridine
- 25 - 2-amino-4-isopropoxy-6-(4-trifluoromethylphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3,4-difluorophenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-methoxyphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-ethoxyphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-N,N-dimethylbenzamido)-pteridine
- 30 - 2-amino-4-isopropoxy-6-(3-thienyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-cyanophenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-benzoic acid methyl ester)-pteridine
- 2-amino-4-isopropoxy-6-(4-acetylphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3,4-dimethoxyphenyl)-pteridine
- 35 - 2-amino-4-ethylthio-6-(3,4-dimethoxyphenyl)-pteridine
- 2-amino-4-isopropylthio-6-(3,4-dimethoxyphenyl)-pteridine
- 2-amino-4-pentoxy-6-styrylpteridine,

- 2-amino-4-n-pentoxy-6-(1,2-dibromo-2-phenylethyl)-pteridine,
- 2-amino-4-methoxy-6-styryl-7-methoxypteridine,
- 2,4-diamino-6-phenyl-7-methylpteridine,
- 2-amino-4-dimethylamino-6-phenylpteridine,
- 5 - 2-amino-4-dimethylamino-6-(4-tolyl)pteridine,
- 2-amino-4-dimethylamino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-diethylamino-6-phenylpteridine,
- 2-amino-4-diethylamino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-diethylamino-6-(4-methoxyphenyl)pteridine,
- 10 - 2-amino-4-diethylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dibenzylamino-6-phenyl pteridine,
- 2-amino-4-dibenzylamino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-dibenzylamino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-dibenzylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 15 - 2-amino-4-dipropylamino-6-phenylpteridine,
- 2-amino-4-dipropylamino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-dipropylamino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-dipropylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-morpholino-6-phenylpteridine,
- 20 - 2-amino-4-morpholino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-morpholino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-morpholino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-piperidino-6-phenylpteridine,
- 2-amino-4-piperidino-6-(4-chlorophenyl) pteridine,
- 25 - 2-amino-4-piperidino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-piperidino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-N-methylpiperazino-6-phenylpteridine,
- 2-amino-4-N-methylpiperazino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-N-methylpiperazino-6-(4-methoxyphenyl)pteridine,
- 30 - 2-amino-4-methylpiperazino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-pyrrolidino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-piperazino-6-phenylpteridine,
- 2-amino-4-piperazino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-piperazino-6-(4-methoxyphenyl)pteridine,
- 35 - 2-amino-4-piperazino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dibenzylamino-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-morpholino-6-(3,4,5-trimethoxyphenyl)pteridine,

- 2-amino-4-(3-adamantylamino)-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-(3-adamantylamino)-6-naphthylpteridine,
- 2-amino-4-(4-adamantylamino)-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-(4-adamantylamino)-6-naphthylpteridine,
- 5 - 2-amino-4-morpholino-6-(3,4-formylidene-3,4-dihydroxyphenyl)pteridine,
- 2-amino-4-dimethylamino-6-(3,4-formylidene-3,4-dihydroxyphenyl) pteridine,
- 2-amino-4-pyrrolidino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dimethylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dimethylamino-6-methylpteridine,
- 10 - 2-amino-4-ethoxy-6-phenylpteridine,
- 2-amino-4-propylamino-6-phenylpteridine,
- 2-amino-4-propylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-acetamido-4-hydroxy-6-(3,4-dimethoxyphenyl)pteridine,
- 2-acetamido-4-isopropoxy-6-(3,4-dimethoxyphenyl)pteridine, and
- 15 - 2-amino-4-ethoxy-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-diethanolamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-benzylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-phenylethylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-(4-methylpiperidino)-6-(3,4-dimethoxyphenyl)pteridine,
- 20 - 2-amino-4-(2-thienylmethylamino)-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-(1,2,3,6-tetrahydropyridino)-6-(3,4-dimethoxyphenyl) pteridine,
- 2-amino-4-thiomorpholino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-[(R)-sec-butylamino]-6-(3,4-dimethoxyphenyl)pteridine, and
- 2-amino-4-[(S)-sec-butylamino]-6-(3,4-dimethoxyphenyl)pteridine.

25

15. A pharmaceutical composition according to any of claims 8 to 14, further comprising one or more biologically-active drugs selected from the group consisting of immuno-suppressant and/or immunomodulator drugs, antineoplastic drugs, and antiviral agents.

30

16. A pharmaceutical composition according to any of claims 8 to 15, further comprising one or more immunosuppressant drugs selected from the group consisting of cyclosporin A; substituted xanthines; pentoxifylline; daltroban, sirolimus, tacrolimus; rapamycin and derivatives thereof; leflunomide or an active metabolite or an analog thereof; mycophenolic acid and salts thereof; adrenocortical steroids; azathioprine, brequinar; gusperimus; 6-mercaptopurine; mizoribine; 35 chloroquine; hydroxychloroquine; monoclonal antibodies with immunosuppressive properties; etanercept; infliximab; and kineret.

17. A pharmaceutical composition according to any of claims 8 to 15, further comprising one or more immunomodulator drugs selected from the group consisting of acemannan, amiprilose, bucillamine, ditiocarb sodium, imiquimod, Inosine Pranobex, interferon- $\beta$ , interferon- $\gamma$ , lentinan, levamisole, pidotimod, romurtide, platonin, procodazole, propagermanium, thymomodulin, thymopentin and ubenimex.

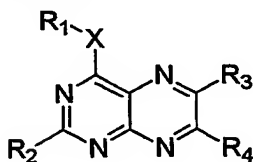
18. A pharmaceutical composition according to any of claims 8 to 15, further comprising one or more antineoplastic drugs selected from the group consisting of alkaloids, alkylating agents, alkyl sulfonates, aziridines, ethylenimines, methylmelamines, nitrogen mustards, nitrosoureas, antibiotics, antimetabolites, folic acid analogs, purine analogs, pyrimidine analogs, enzymes, interferon and platinum complexes.

19. A pharmaceutical composition according to any of claims 8 to 15, further comprising one or more antiviral agents selected from the group consisting of retroviral enzyme inhibitors, HIV-1 IN inhibitors, nucleoside reverse transcriptase inhibitors, zidovudine, lamivudine, didanosine, stavudine, zalcitabine, non-nucleoside reverse transcriptase inhibitors, nevirapine, delavirdine, foscarnet sodium, HIV-1 protease inhibitors, saquinavir, ritonavir, indinavir, nelfinavir, acyclovir, cidofovir, cytarabine, edoxudine, famciclovir, floxuridine, ganciclovir, idoxuridine, penciclovir, sorivudine, trifluridine, valaciclovir, vidarabine, kethoxal, methisazone, moroxydine, podophyllotoxin, ribavirin, rimantadine, stallimycine, statolon, tromantadine and xenazoic acid.

20. A method for the prevention or treatment in a patient of a pathologic condition selected from the group consisting of:

- immune and auto-immune disorders,
- cardiovascular disorders,
- disorders of the central nervous system, and
- cell proliferative disorders,

comprising the administration to the patient of an effective amount of a pharmaceutical composition comprising as an active principle at least one pteridine derivative having the general formula:



wherein X represents an oxygen atom or a group with the formula  $S(O)_m$  wherein m is an integer from 0 to 2, or a group with the formula NZ and wherein:



- R<sub>1</sub> is a group selected from the group consisting of C<sub>1-7</sub> alkyl, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl, C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub> cycloalkenyl, aryl, alkylaryl, arylalkyl, heterocyclic, heterocyclic-substituted alkyl and alkyl-substituted heterocyclic, each of said groups being optionally substituted with one or more substituents independently selected from the group consisting of halogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl, halo C<sub>1-4</sub> alkyl, C<sub>3-10</sub> cycloalkoxy, aryloxy, arylalkyloxy, oxyheterocyclic, heterocyclic-substituted alkyloxy, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl, hydroxyl, sulfhydryl, nitro, hydroxylamino, mercaptoamino, cyano, carboxylic acid or esters or thioesters or amides or thioamides or halides or anhydrides thereof, thiocarboxylic acid or esters or thioesters or amides or thioamides or halides or anhydrides thereof, carbamoyl, thiocarbamoyl, ureido, thio-ureido, amino, alkylamino, cycloalkylamino, alkenylamino, cycloalkenyl-amino, alkynylamino, arylamino, arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino and phenyl-hydrazino; or R<sub>1</sub> is a carboxyalkyl, carboxyaryl, thiocarboxyaryl or thiocarboxyalkyl group;
- Z is a group independently defined as R<sub>1</sub> or Z is hydrogen or the group NZ together with R<sub>1</sub> is either hydroxylamino or an optionally substituted heterocyclic group containing at least one nitrogen atom;
- R<sub>2</sub> is selected from the group consisting of amino; acylamino; thioacylamino; carbamoyl; thiocarbamoyl, ureido; thio-ureido, sulfonamido; hydroxylamino; alkoxyamino; thioalkylamino; mercaptoamino, hydrazino; alkylhydrazino; phenylhydrazino; optionally substituted heterocyclic radicals; C<sub>3-7</sub> alkylamino; arylamino; arylalkylamino; cycloalkylamino; alkenylamino; cycloalkenylamino; heterocyclic amino; hydroxyalkylamino; mercaptoalkylamino; C<sub>1-7</sub> alkoxy; C<sub>3-10</sub> cycloalkoxy; thio C<sub>1-7</sub> alkyl; arylsulfoxide; arylsulfone; heterocyclic sulfoxide; heterocyclic sulfone; thio C<sub>3-10</sub> cycloalkyl; aryloxy; arylthio; arylalkyloxy; arylalkylthio; oxyheterocyclic and thioheterocyclic radicals,
- R<sub>4</sub> is an atom or a group selected from the group consisting of hydrogen; halogen; C<sub>1-7</sub> alkyl; C<sub>2-7</sub> alkenyl; C<sub>2-7</sub> alkynyl; halo C<sub>1-7</sub> alkyl; carboxy C<sub>1-7</sub> alkyl; acetoxyl C<sub>1-7</sub> alkyl; carboxyaryl; C<sub>1-7</sub> alkoxy; C<sub>3-10</sub> cycloalkoxy; aryloxy; arylalkyloxy; oxyheterocyclic; heterocyclic-substituted alkyloxy; thio C<sub>1-7</sub> alkyl; thio C<sub>3-10</sub> cycloalkyl; thioaryl; thioheterocyclic; arylalkylthio; heterocyclic-substituted alkylthio; hydroxylamino; mercaptoamino; acylamino; thio-acylamino; alkoxyamino; thioalkylamino; acetal; thio-acetal; carboxylic acid; carboxylic acid esters, thioesters, halides, anhydrides, amides and thioamides; thiocarboxylic acid; thiocarboxylic acid esters, thioesters, halides, anhydrides, amides and thioamides; hydroxyl; sulfhydryl; nitro; cyano; carbamoyl; thiocarbamoyl, ureido; thio-ureido; alkylamino; cycloalkylamino; alkenylamino; cycloalkenylamino; alkynylamino; arylamino; arylalkylamino; hydroxyalkylamino; mercapto-alkylamino;

heterocyclic amino; heterocyclic-substituted alkylamino; oximino; alkyloximino; hydrazino;  
 alkyhydrazino; phenylhydrazino; cysteinyl acid, esters, thioesters, halides, anhydrides,  
 amides and thioamides thereof; phenyl substituted with one or more substituents  
 5 independently selected from the group consisting of C<sub>1-4</sub> alkyl, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl,  
 halo C<sub>1-4</sub> alkyl, nitro, hydroxyl, sulfhydryl, amino, C<sub>3-10</sub> cycloalkoxy, aryloxy, arylalkyloxy,  
 oxyheterocyclic, heterocyclic-substituted alkyloxy, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl,  
 thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl,  
 carbamoyl, thiocarbamoyl, ureido, thio-ureido, sulfonamido, hydroxylamino, alkoxyamino,  
 10 mercaptoamino, thioalkylamino, acylamino, thioacylamino, cyano, carboxylic acid or  
 esters or thioesters or halides or anhydrides or amides thereof, thiocarboxylic acid or  
 esters or thioesters or halides or anhydrides or amides thereof, alkylamino,  
 cycloalkylamino, alkenylamino, cycloalkenyl-amino, alkynylamino, arylamino,  
 arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino,  
 alkyhydrazino and phenylhydrazino; aryl groups other than phenyl, the said aryl groups  
 15 being optionally substituted with one or more substituents independently selected from  
 the group consisting of halogen, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, C<sub>2-7</sub> alkenyl, C<sub>2-7</sub> alkynyl, halo C<sub>1-4</sub>  
 alkyl, nitro, hydroxyl, sulfhydryl, amino, C<sub>3-10</sub> cycloalkoxy, aryloxy, arylalkyloxy,  
 oxyheterocyclic, heterocyclic-substituted alkyloxy, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl,  
 thioaryl, thioheterocyclic, arylalkylthio, heterocyclic-substituted alkylthio, formyl,  
 20 carbamoyl, thiocarbamoyl, ureido, thio-ureido, sulfonamido, hydroxylamino, alkoxy-amino,  
 mercaptoamino, thioalkylamino, acylamino, thioacylamino, cyano, carboxylic acid or  
 esters or thioesters or halides or anhydrides or amides thereof, thiocarboxylic acid or  
 esters or thioesters or halides or anhydrides or amides thereof, alkylamino,  
 cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, arylamino,  
 25 arylalkylamino, hydroxyalkyl-amino, mercaptoalkylamino, heterocyclic amino, hydrazino,  
 alkyhydrazino and phenylhydrazino; optionally substituted heterocyclic radicals selected  
 from the group consisting of oxabicycloheptyl, azabenzimidazolyl, azacycloheptyl,  
 azacyclooctyl, azacyclononyl, azabicyclononyl, tetrahydro-furyl, tetrahydropyranyl,  
 tetrahydropyranyl, tetrahydroquinoleinyl, tetrahydrothienyl and dioxide thereof,  
 30 dihydrothienyl dioxide, dioxindolyl, dioxinyl, dioxenyl, dioxazinyl, thioxanyl, thioxolyl, thio-  
 urazolyl, thiotriazolyl, thiopyranyl, thiopyranyl, coumarinyl, quinoleinyl, oxyquinoleinyl,  
 quinuclidinyl, xanthinyl, dihydropyranyl, benzodihydrofuryl, benzothio-pyranyl,  
 benzothiopyranyl, benzoxazinyl, benzoxazolyl, benzodioxolyl, benzodioxanyl,  
 benzothiadiazolyl, benzotriazinyl, benzothiazolyl, benzoxazolyl, phenothioxinyl,  
 35 phenothiazolyl, phenothienyl, phenopyranyl, phenoxazolyl, pyridinyl, dihydropyridinyl,  
 tetrahydropyridinyl, piperidinyl, thiomorpholinyl, pyrazinyl, pyrimidinyl, pyridazinyl,  
 triazinyl, tetrazinyl, triazolyl, benzotriazolyl, tetrazolyl, imidazolyl, pyrazolyl, thiazolyl,

thiadiazolyl, isothiazolyl, oxazolyl, oxadiazolyl, pyrrolyl, furyl, dihydrofuryl, furoyl, hydantoinyl, dioxolanyl, dioxolyl, dithianyl, dithienyl, dithiinyl, thienyl, indolyl, indazolyl, benzofuryl, quinolyl, quinazolinyl, quinoxalinyl, carbazolyl, phenoxazinyl, phenothiazinyl, xanthenyl, purinyl, benzothienyl, naphthothienyl, thianthrenyl, pyranyl, pyronyl, benzopyronyl, isobenzofuranyl, chromenyl, phenoxathiinyl, indoliziny, quinoliziny, isoquinolyl, phthalazinyl, naphthiridinyl, cinnolinyl, pteridinyl, carbolinyl, acridinyl, perimidinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, imidazoliny, imidazolidinyl, benzimidazolyl, pyrazolinyl, pyrazolidinyl, pyrrolinyl, pyrrolidinyl, piperazinyl, uridinyl, thymidinyl, cytidinyl, azirinyl, aziridinyl, diazirinyl, diaziridinyl, oxiranyl, oxaziridinyl, dioxiranyl, thiiranyl, azetyl, dihydroazetyl, azetidiny, oxetyl, oxetanyl, thietyl, thietanyl, diazabicyclo-octyl, diazetyl, diaziridinonyl, diaziridinethionyl, chromanyl, chromanonyl, thiochromanyl, thiochromanonyl, thiochromenyl, benzofuranyl, benzisothiazolyl, benzocarbazolyl, benzochromonyl, benziso-alloxazinyl, benzocoumarinyl, thiocoumarinyl, phenometoxazinyl, phenoparoxazinyl, phentriazinyl, thiodiazinyl, thiodiazolyl, indoxyl, thio-indoxyl, benzodiazinyl, phtalidyl, phtalimidinyl, phtalazonyl, alloxazinyl, xanthionyl, isatyl, isopyrazolyl, isopyrazolonyl, urazolyl, urazinyl, uretinyl, uretidinyl, succinyl, succinimido, benzylsultimyl and benzylsultamyl; aromatic or heterocyclic substituents substituted with an aliphatic spacer between the pteridine ring and the aromatic or heterocyclic substituent, whereby said aliphatic spacer is a branched or straight, saturated or unsaturated aliphatic chain of 1 to 4 carbon atoms which may contain one or more functions, atoms or radicals independently selected from the group consisting of carbonyl (oxo), thiocarbonyl, alcohol (hydroxyl), thiol, ether, thio-ether, acetal, thio-acetal, amino, imino, oximino, alkyloximino, amino-acid, cyano, acylamino, thioacylamino, carbamoyl, thiocarbamoyl, ureido, thio-ureido, carboxylic acid or ester or thioester or halide or anhydride or amide, thiocarboxylic acid or ester or thioester or halide or anhydride or amide, nitro, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, hydroxylamino, mercaptoamino, alkylamino, cycloalkylamino, alkenylamino, cycloalkenylamino, alkynylamino, arylamino, arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino, phenylhydrazino, sulfonyl, sulfinyl, sulfonamido and halogen; branched or straight, saturated or unsaturated aliphatic chains of 1 to 7 carbon atoms optionally containing one or more functions, atoms or radicals independently selected from the group consisting of carbonyl (oxo), thiocarbonyl, alcohol (hydroxyl), thiol, ether, thio-ether, acetal, thio-acetal, amino, imino, oximino, alkyloximino, aminoacid, cyano, acylamino; thioacylamino; carbamoyl, thiocarbamoyl, ureido, thio-ureido, carboxylic acid ester or halide or anhydride or amide, thiocarboxylic acid or ester or thioester or halide or anhydride or amide, nitro, thio C<sub>1-7</sub> alkyl, thio C<sub>3-10</sub> cycloalkyl, hydroxylamino, mercaptoamino, alkylamino, cycloalkylamino, alkenyl-amino,

cycloalkenylamino, alkynylamino, arylamino, arylalkylamino, hydroxyalkylamino, mercaptoalkylamino, heterocyclic amino, hydrazino, alkylhydrazino, phenylhydrazino, sulfonyl, sulfinyl, sulfonamido and halogen; and

- 5       - R<sub>3</sub> is an atom or a group defined as R<sub>4</sub>, or R<sub>3</sub> is selected from the group consisting of morpholinyl, amino, hydrogen, methyl, thiomethyl and chloro; or R<sub>3</sub> together with R<sub>4</sub> and the carbon atoms to which they are attached form a homocyclic or heterocyclic radical; and/or a pharmaceutically acceptable addition salt thereof and/or a stereoisomer thereof and/or a mono- or a di-*N*-oxide thereof and/or a solvate and/or a dihydro- or tetrahydropteridine derivative thereof.

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21. A method of prevention or treatment according to claim 20, wherein said pteridine derivative is a compound selected from the group consisting of:

- 15       - 2-amino-4-ethoxy-6-(4-methoxyphenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(2-methoxyphenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(3-methoxyphenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(3,4-difluorophenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(4-dimethylaminophenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(4-trifluoromethylphenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(2-thienyl)-pteridine  
        - 2-amino-4-ethoxy-6-(3-thienyl)-pteridine  
        - 2-amino-4-ethoxy-6-(3,4-dichlorophenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(4-cyanophenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(4-ethoxyphenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(4-fluorophenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(4-ethylphenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(4-acetylphenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(3-fluoro-4-methylphenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(4-methylthiophenyl)-pteridine  
        - 2-amino-4-ethoxy-6-(4-*N,N*-dimethylbenzamido)-pteridine  
        - 2-amino-4-isopropoxy-6-(3-methyl-4-methoxyphenyl)-pteridine  
        - 2-amino-4-isopropoxy-6-(3,4-dimethylphenyl)-pteridine  
        - 2-amino-4-isopropoxy-6-(3-chloro-4-trifluoromethylphenyl)-pteridine  
        - 2-amino-4-isopropoxy-6-(3-chloro-4-fluorophenyl)-pteridine  
        - 2-amino-4-isopropoxy-6-(4-*N,N*-diethylbenzamido)-pteridine  
        - 2-amino-4-isopropoxy-6-(4-trifluoromethylphenyl)-pteridine  
        - 2-amino-4-isopropoxy-6-(3,4-difluorophenyl)-pteridine  
        - 2-amino-4-isopropoxy-6-(4-methoxyphenyl)-pteridine

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- 2-amino-4-isopropoxy-6-(4-ethoxyphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-N,N-dimethylbenzamido)-pteridine
- 2-amino-4-isopropoxy-6-(3-thienyl)-pteridine
- 2-amino-4-isopropoxy-6-(4-cyanophenyl)-pteridine
- 5 - 2-amino-4-isopropoxy-6-(4-benzoic acid methyl ester)-pteridine
- 2-amino-4-isopropoxy-6-(4-acetylphenyl)-pteridine
- 2-amino-4-isopropoxy-6-(3,4-dimethoxyphenyl)-pteridine
- 2-amino-4-ethylthio-6-(3,4-dimethoxyphenyl)-pteridine
- 2-amino-4-isopropylthio-6-(3,4-dimethoxyphenyl)-pteridine
- 10 - 2-amino-4-pentoxy-6-styrylpteridine,
- 2-amino-4-n-pentoxy-6-(1,2-dibromo-2-phenylethyl)-pteridine,
- 2-amino-4-methoxy-6-styryl-7-methoxypteridine,
- 2,4-diamino-6-phenyl-7-methylpteridine,
- 2-amino-4-dimethylamino-6-phenylpteridine,
- 15 - 2-amino-4-dimethylamino-6-(4-tolyl)pteridine,
- 2-amino-4-dimethylamino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-diethylamino-6-phenylpteridine,
- 2-amino-4-diethylamino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-diethylamino-6-(4-methoxyphenyl)pteridine,
- 20 - 2-amino-4-diethylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dibenzylamino-6-phenyl pteridine,
- 2-amino-4-dibenzylamino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-dibenzylamino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-dibenzylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 25 - 2-amino-4-dipropylamino-6-phenylpteridine,
- 2-amino-4-dipropylamino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-dipropylamino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-dipropylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-morpholino-6-phenylpteridine,
- 30 - 2-amino-4-morpholino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-morpholino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-morpholino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-piperidino-6-phenylpteridine,
- 2-amino-4-piperidino-6-(4-chlorophenyl) pteridine,
- 35 - 2-amino-4-piperidino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-piperidino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-N-methylpiperazino-6-phenylpteridine,

- 2-amino-4-N-methylpiperazino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-N-methylpiperazino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-methylpiperazino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-pyrrolidino-6-(4-methoxyphenyl)pteridine,
- 5 - 2-amino-4-piperazino-6-phenylpteridine,
- 2-amino-4-piperazino-6-(4-chlorophenyl)pteridine,
- 2-amino-4-piperazino-6-(4-methoxyphenyl)pteridine,
- 2-amino-4-piperazino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dibenzylamino-6-(3,4,5-trimethoxyphenyl)pteridine,
- 10 - 2-amino-4-morpholino-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-(3-adamantylamino)-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-(3-adamantylamino)-6-naphthylpteridine,
- 2-amino-4-(4-adamantylamino)-6-(3,4,5-trimethoxyphenyl)pteridine,
- 2-amino-4-(4-adamantylamino)-6-naphthylpteridine,
- 15 - 2-amino-4-morpholino-6-(3,4-formylidene-3,4-dihydroxyphenyl)pteridine,
- 2-amino-4-dimethylamino-6-(3,4-formylidene-3,4-dihydroxyphenyl) pteridine,
- 2-amino-4-pyrrolidino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dimethylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-dimethylamino-6-methylpteridine,
- 20 - 2-amino-4-ethoxy-6-phenylpteridine,
- 2-amino-4-propylamino-6-phenylpteridine,
- 2-amino-4-propylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-acetamido-4-hydroxy-6-(3,4-dimethoxyphenyl)pteridine,
- 2-acetamido-4-isopropoxy-6-(3,4-dimethoxyphenyl)pteridine, and
- 25 - 2-amino-4-ethoxy-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-diethanolamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-benzylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-phenylethylamino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-(4-methylpiperidino)-6-(3,4-dimethoxyphenyl)pteridine,
- 30 - 2-amino-4-(2-thienylmethylamino)-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-(1,2,3,6-tetrahydropyridino)-6-(3,4-dimethoxyphenyl) pteridine,
- 2-amino-4-thiomorpholino-6-(3,4-dimethoxyphenyl)pteridine,
- 2-amino-4-[(*R*)-sec-butylamino]-6-(3,4-dimethoxyphenyl)pteridine, and
- 2-amino-4-[(*S*)-sec-butylamino]-6-(3,4-dimethoxyphenyl)pteridine.

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22. A method of prevention or treatment according to claim 20 or claim 21, wherein an effective amount of the pharmaceutical composition corresponds to an amount in the range

from 0.01 mg to 20 mg of the pteridine derivative per day and per kg body weight of the patient.

23. A method of prevention or treatment according to any of claims 20 to 22, wherein said  
5 pharmaceutical composition further comprises one or more biologically-active drugs selected from the group consisting of immunosuppressant and/or immunomodulator drugs, antineoplastic drugs, and antiviral agents, or is administered in combination with an effective amount of a second pharmaceutical composition comprising one or more biologically-active drugs selected from the group consisting of immunosuppressant and/or immunomodulator drugs, antineoplastic drugs,  
10 and antiviral agents.

24. A method of prevention or treatment according to claim 23, wherein said one or more immunosuppressant drugs is selected from the group consisting of cyclosporin A; substituted xanthines; pentoxifylline; daltroban, sirolimus, tacrolimus; rapamycin and derivatives thereof;  
15 leflunomide or an active metabolite or an analog thereof; mycophenolic acid and salts thereof; adrenocortical steroids; azathioprine, brequinar; gusperimus; 6-mercaptopurine; mizoribine; chloroquine; hydroxychloroquine; and monoclonal antibodies.

25. A method of prevention or treatment according to claim 23, wherein said one or more  
20 immunomodulator drugs is selected from the group consisting of acemannan, amiprilose, bucillamine, ditiocarb sodium, imiquimod, Inosine Pranobex, interferon- $\beta$ , interferon- $\gamma$ , lentinan, levamisole, pidotimod, romurtide, platonin, procodazole, propagermanium, thymomodulin, thymopentin and ubenimex.

26. A method of prevention or treatment according to claim 23, wherein said one or more  
25 antineoplastic drugs selected from the group consisting of alkaloids, alkylating agents, alkyl sulfonates, aziridines, ethylenimines, methylmelamines, nitrogen mustards, nitrosoureas, antibiotics, antimetabolites, folic acid analogs, purine analogs, pyrimidine analogs, enzymes, interferon and platinum complexes.

27. A method of prevention or treatment according to claim 23, wherein said one or more antiviral  
30 agents selected from the group consisting of retroviral enzyme inhibitors, HIV-1 IN inhibitors, nucleoside reverse transcriptase inhibitors, zidovudine, lamivudine, didanosine, stavudine, zalcitabine, non-nucleoside reverse transcriptase inhibitors, nevirapine, delavirdine, foscarnet sodium, HIV-1 protease inhibitors, saquinavir, ritonavir, indinavir, nelfinavir, acyclovir, cidofovir, cytarabine, edoxudine, famciclovir, floxuridine, ganciclovir, idoxuridine, penciclovir, sorivudine,  
35 trifluridine, valaciclovir, vidarabine, kethoxal, methisazone, moroxydine, podophyllotoxin, ribavirine, rimantadine, stallimycine, statolon, tromantadine and xenazoic acid.